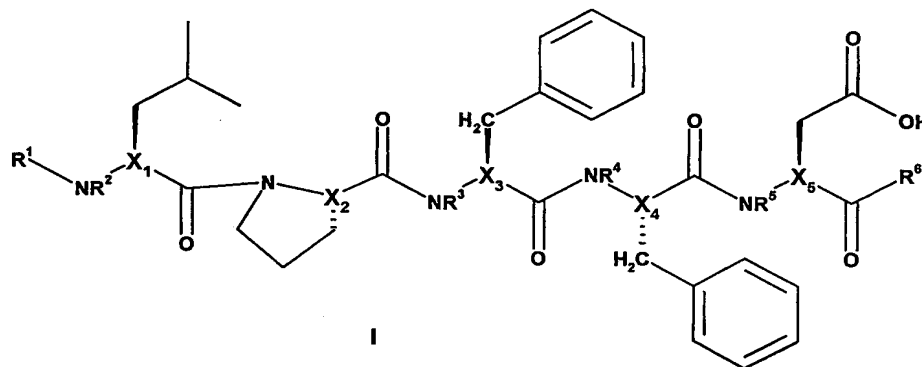47

Claims

1.   A compound of the general Formula I:

I

wherein R^1 is selected from H, C_2-C_6 acyl and C_1-C_6 alkyl;

R^2, R^3, R^4 and R^5 are independently selected from H and C_1-C_6 alkyl;

R^6 is selected from OH and NR^7R^8, wherein R^7 and R^8 are independently selected from H and C_1-C_6 alkyl;

X_1, X_2, X_3, X_4 and X_5 are independently selected from CR^9 or N wherein R^9 is selected from H and C_1-C_6 alkyl and with the condition that at least one among X_1, X_2, X_3, X_4 and X_5 is N; as well as any chiral derivative thereof.

2.   A compound according to claim 1, wherein R^1 is C_2-C_6 acyl and R^6 is NR^7R^8 wherein R^7 and R^8 are independently selected from H and C_1-C_6 alkyl.

3.   A compound according to claims 1 or 2, wherein X_1, X_2, X_3, X_4 and X_5 are independently selected from CH and N and with the condition that at least one among X_1, X_2, X_3, X_4 and X_5 is N.

4.   A compound according to any claims from 1 to 3, wherein R^2, R^3, R^4 and R^5 are H and R^1 is -C(O)CH$_3$ and R^6 is NH_2; wherein X_1, X_2, X_3, X_4 and X_5 are

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independently selected from CH and N and with the condition that at least one
among X_1, X_2, X_3, X_4 and X_5 is N.

5.   A compound according to any claims from 1 to 4, wherein X_2 is N.

6.   A compound according to any claims from 1 to 5, wherein X_3 is N.

7.   A compound according to any claims from 1 to 6 selected from the following
group:

Ac-L-P-F^a-F-D-NH2;

Ac-L-P^a-F-F-D-NH$_2$; and

Ac-L-P^a-F^a-F-D-NH$_2$.

8.   A compound according to any claims from 1 to 7 for use as a medicament.

9.   A pharmaceutical composition comprising a compound according to any one of
claims 1 to 7 and a pharmaceutically acceptable excipient, diluent or carrier.

10. Use of a compound according to any one of claims 1 to 7 for the manufacture of
a medicament for the treatment or prevention of a disease or condition selected from
Alzheimer's disease, Dementia pugilistica (including head trauma), Hereditary
Cerebral Haemorrhage with amyloidosis of the Dutch type (HCHWA-D) and
vascular dementia with amyloid angiopathy.

11. Use according to claim 10, wherein the disease is Alzheimer's disease.

12. Use of a compound according to any one of claims 1 to 7, for the preparation of
a medicament for the treatment of a disease associated with abnormal protein folding
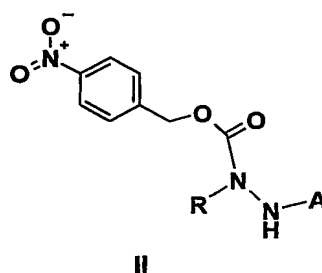into amyloid and amyloid-like deposits.

13. A process for the preparation of an aza -peptide obtainable by a process
comprising the steps of:

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a.   Reacting an aza-amino acid building block of Formula (II) with an amino
acid, an aza-amino acid, a peptide, an aza-peptide or an azatide to form a
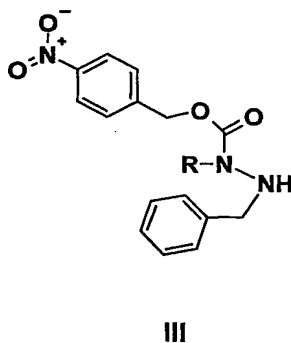aza-peptoidic bond through aza-peptide coupling:

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II

wherein R is selected from H and C_1-C_6 alkyl;
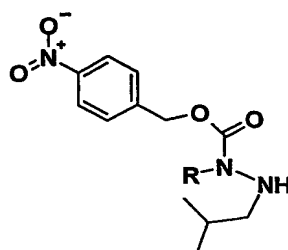A is any functional group of an amino acid;

10   R and A can form a C_3-C_6 -heterocycloalkyl ring.

b.   Removing the para-nitro carbobenzyloxy group.
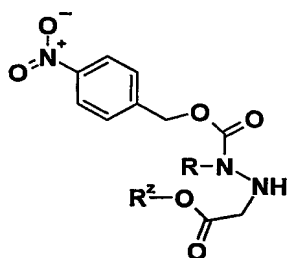

14. A process according to claim 13 wherein at least one aza-amino acid building
15   block in step a) of said library is selected from Formulae III, IV, V and VI:
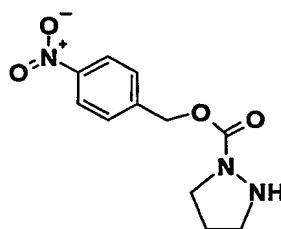

III

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IV

V

VI

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wherein R is selected from H and C_1-C_6 alkyl;

R^z is selected from $-CH_2-CH=CH_2$ and $-$tert-butyl.

15. A process according to claim 14 wherein R is H.

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16. A process according to claim 13 or 15 for the preparation of an aza-peptide of a total sequence from 2 to 10 peptoid units in length.

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17. A process according to any claims from 13 to 16 for the preparation of an aza-peptide of a total sequence from 2 to 5 units peptoid units in length.

18. A process according to any claims from 13 to 16 for the preparation of an aza-peptide having between 2 to 10 aza-amino acids.

19. A process according to any claims from 13 to 18 for the preparation of an aza-peptide having between 2 to 5 aza-amino acids.

20. A process according to any claims from 13 to 19 for the preparation of an aza-peptide of Formula I.

21. A synthetic aza-peptide building block having a Formula selected from Formulae III, IV, V and VI.

22. A synthetic aza-peptide building block of claim 21 wherein R is H.